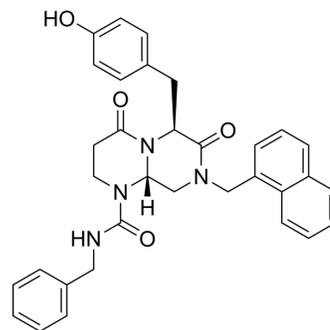


ICG-001

Cat. No.:	HY-14428		
CAS No.:	780757-88-2		
Molecular Formula:	C ₃₃ H ₃₂ N ₄ O ₄		
Molecular Weight:	548.63		
Target:	β-catenin; Apoptosis		
Pathway:	Stem Cell/Wnt; Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (91.14 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	1.8227 mL	9.1136 mL	18.2272 mL
	5 mM	0.3645 mL	1.8227 mL	3.6454 mL
	10 mM	0.1823 mL	0.9114 mL	1.8227 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (4.56 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: 2.5 mg/mL (4.56 mM); Suspended solution; Need ultrasonic and warming
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (4.56 mM); Clear solution
- Add each solvent one by one: 15% Cremophor EL >> 85% Saline
 Solubility: 1.67 mg/mL (3.04 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 17% Polyethylene glycol 12-hydroxystearate in saline
 Solubility: 1.67 mg/mL (3.04 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

ICG-001 is an inhibitor of β-catenin/TCF mediated transcription. ICG-001 works by specifically binding to cyclic AMP response element-binding protein with an IC₅₀ of 3 μM. ICG-001 selectively blocks the β-catenin/CBP interaction without

	interfering with the β -catenin/p300 interaction.
IC₅₀ & Target	IC50: 3 μ M (CBP)
In Vitro	ICG-001 (5 μ M) inhibits leptin-induced EMT, invasion and tumorsphere formation in MCF7 cells ^[1] . ICG-001 can phenotypically rescue normal nerve growth factor (NGF)-induced neuronal differentiation and neurite outgrowth in the presenilin-1 mutant cells, emphasizing the importance of the TCF/ β -catenin signaling pathway on neurite outgrowth and neuronal differentiation ^[2] . ICG-001 (25 μ M) treatment reduces the steady-state levels of Survivin and Cyclin D1 RNA and protein in SW480 cells, both of which can be up-regulated by β -catenin. ICG-001 selectively induces apoptosis in transformed cells but not in normal colon cells, and reduces in vitro growth of colon carcinoma cells ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	ICG-001 (5 mg/kg per day) significantly inhibits beta-catenin signaling in mice, while concurrently preserving the epithelium ^[2] . Administration of a water-soluble analog of ICG-001 for 9 weeks reduces the formation of colon and small intestinal polyps by 42% as effectively as the nonsteroidal antiinflammatory agent MK-231, which has consistently demonstrated efficacy in this model. ICG-001 (150 mg/kg, i.v.) demonstrates a dramatic reduction in tumor volume over the 19-day course of treatment, with no mortality or weight loss in the SW620 nude mouse xenograft model of tumor regression ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[2]	To evaluate effects of ICG-001 on α -SMA and collagen type 1 expression, RLE-6TN cells are treated with TGF- β 1 (0.25 ng/mL) in the presence or absence of ICG-001 (5.0 μ M). After 24 h, cells are harvested and mRNA isolated for analysis by qPCR. RNA is reverse-transcribed using SuperScript reverse transcriptase. Quantitative PCR is performed with SYBR-Green PCR using Real-Time PCR System HT7900. The amplification protocol is set as follows: 95°C denaturation for 10 min followed by 40 cycles of 15-s denaturation at 95°C, 1 min of annealing/extension, and data collection at 60°C. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration ^[3]	Seven-week-old male C57BL/6J-Apc ^{Min/+} and WT C57BL/6J mice are treated orally for 9 weeks with ICG-001a (300 mg/kg per day) or vehicle (1% carboxymethylcellulose), once daily, six times per week. MK-231 is administered in drinking water (160 ppm, dissolved in 8 mM Na ₂ PO ₄ buffer, pH 7.6). At 16 weeks, the polyp number is counted manually by using a dissecting microscope. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2023 Jan 11;8(1):16.
- Nat Commun. 2022 Nov 2;13(1):6552.
- Nat Commun. 2022 Jul 28;13(1):4364.
- Acta Pharm Sin B. 26 October 2021.
- J Exp Clin Cancer Res. 2017 Sep 11;36(1):125.

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REFERENCES

[1]. Yan D, et al, Leptin-induced epithelial-mesenchymal transition in breast cancer cells requires β -catenin activation via Akt/GSK3- and MTA1/Wnt1 protein-dependent

pathways. J Biol Chem, 2012, 287(11), 8598-8612.

[2]. Henderson WR Jr, et al, Inhibition of Wnt/beta-catenin/CREB binding protein (CBP) signaling reverses pulmonary fibrosis. Proc Natl Acad Sci USA, 2010, 107(32), 14309-14314.

[3]. Emami KH, et al. A small molecule inhibitor of beta-catenin/CREB-binding protein transcription [corrected]. Proc Natl Acad Sci USA, 2004, 101(34), 12682-12687.

[4]. Liu Y, et al. ICG-001 suppresses growth of gastric cancer cells and reduces chemoresistance of cancer stem cell-like population. J Exp Clin Cancer Res. 2017 Sep 11;36(1):125.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA